ABSTRACT

Pharmaceutical prodrug compositions are provided comprising azide derivatives of drugs which are capable of being converted to the drug *in vivo*. Azide derivatives of drugs having amine, ketone and hydroxy substituents are converted *in vivo* to the corresponding drugs, increasing the half-life of the drugs. In addition azide prodrugs are often better able to penetrate the blood-brain barrier than the corresponding drugs. Especially useful are azide derivatives of cordycepin, 2'-F-ara-ddI, AraA, acyclovir, penciclovir and related drugs. Useful azide prodrugs are azide derivatives of therapeutic alicyclic amines, ketones, and hydroxy-substituted compounds, including aralkyl, heterocyclic aralkyl, and cyclic aliphatic compounds, where the amine or oxygen moiety is on the ring, or where the amine or oxygen moiety is on an aliphatic side chain, as well as therapeutic purines and pyrimidines, nucleoside analogs and phosphorylated nucleoside analogs.